Requester's Full Name: Cecilia Jais & Basthine # 80613 Date: 633 Art Unit: 1634 Phone Number: 2 9931 Serial Number: 10516977 Location (Righterounty). PLANSAN Chamber: 2 9931 Serial Number: 10516977  To curve as officient and quality carrels, please attack a capy of the cover these, didmi, and abstract or fill out the following: This of Invention:  The of Invention:  See Bib Data She of Inventions (please provide full names):  It seatest Typic: Plant Typic:	264300	SEARCH DEO	trmom money
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L20 ANSWER 1 OF 1 HCAPLUS COPYRIGHT 2008 ACS on STN ACCESSION NUMBER: 2006:993756 HCAPLUS Full-text

DOCUMENT NUMBER: 146:583

E3024, 3-but-2-yny1-5-methy1-2-piperazin-1-y1-3,5-TITLE: dihydro-4H-imidazo[4,5-d]pyridazin-4-one tosylate, is

a novel, selective and competitive dipeptidul

peptidase-IV inhibitor

AUTHOR(S): Yasuda, Nobuyuki; Nagakura, Tadashi

; Inoue, Takashi; Yamazaki, Kazuto; Katsutani, Naruo;

Takenaka, Osamu; Clark, Pichard; Matsuura, Fumivoshi; Emori, Eita; Yoshikawa, Seiji; Kira, Kazunobu;

Ikuta, Hironori; Okada, Toshimi; Saeki, Takao;

Asano, Osamu; Tanaka, Isao

Tsukuba Research Laboratories, Eisai Co., Ltd., CORPORATE SOURCE:

Tsukuba, Ibaraki, 300-2635, Japan

SOURCE: European Journal of Pharmacology (2006), 548(1-3),

181-187

CODEN: EJPHAZ; ISSN: 0014-2999 PUBLISHER: Elsevier B.V.

DOCUMENT TYPE: Journal LANGUAGE: English

AB Dipeptidyl peptidase IV (DPP-IV) inhibitors are expected to become a useful new class of anti-diabetic agent. The aim of the present study is to characterize the in vitro and in vivo profile of E3024, 3-but-2-vnvl-5-methyl-2-piperazin-1-yl-3,5-dihydro-4H-imidazo[4,5-d]pyridazin-4-one tosylate, which is a novel imidazopyridazinone-derived DPP-IV inhibitor. E3024 inhibited recombinant human and mouse DPP-IV with IC50 values of approx. 100 nM. E3024 inhibited DPP-IV in human, mouse, rat and canine plasma with IC50 values of 140 to 400 nM. In contrast, E3024 did not inhibit DPP-8 or DPP-9 activity. Kinetic anal. indicated that E3024 is a competitive DPP-IV inhibitor. In Zucker fa/fa rats, E3024 (1 mg/kg) reduced glucose excursion after glucose load, with increases in plasma insulin and active glucagon-like peptide-1 levels. In fasted rats, this compound did not cause hypoglycemia. In a rat 4-wk toxicol. study, no notable changes were found at doses up to 750 mg/kg. The present preclin, studies indicate that E3024 is a novel selective DPP-IV inhibitor with anti-diabetic effects and a good safety profile.

50-99-7, D-Glucose, biological studies

RL: BSU (Biological study, unclassified); BIOL (Biological study) (blood; evaluation of antidiabetic activity, safety, and

pharmacokinetics of selective dipeptidyl peptidase-IV inhibitor E3024)

RN 50-99-7 HCAPLUS

CN D-Glucose (CA INDEX NAME)

Absolute stereochemistry.

915132-86-4

RL: ADV (Adverse effect, including toxicity); PAC (Pharmacological activity); PKT (Pharmacokinetics); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(evaluation of antidiabetic activity, safety, and pharmacokinetics of selective dipeptidyl peptidase-IV inhibitor E3024)

915132-86-4 HCAPLUS

CN 4H-Imidazo[4,5-d]pyridazin-4-one, 3-(2-butyn-1-y1)-3,5-dihydro-5-methyl-2-(1-piperazinyl)-, methanesulfonate (1:1) (CA INDEX NAME)

CM

CRN 635717-65-6 CMF C14 H18 N6 O

CM

CRN 75-75-2 CMF C H4 O3 S

IT 9004-10-8, Insulin, biological studies 89750-14-1,

Glucagon-like peptide-1

RL: BSU (Biological study, unclassified); BIOL (Biological study)

(evaluation of antidiabetic activity, safety, and pharmacokinetics of selective dipeptidvl peptidase-IV inhibitor E3024)

RN 9004-10-8 HCAPLUS

CN Insulin (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 89750-14-1 HCAPLUS

Glucagon-like peptide I (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

54249-88-6, Dipeptidyl peptidase IV

RL: BSU (Biological study, unclassified); BIOL (Biological study) (inhibitor; evaluation of antidiabetic activity, safety, and

pharmacokinetics of selective dipeptidyl peptidase-IV inhibitor E3024)

54249-88-6 HCAPLUS

RN

Peptidase, dipeptidyl, IV (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

REFERENCE COUNT: 21 THERE ARE 21 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT RESULTS FROM REGISTRY, CAPLUS, AND USPATFULL

=> d que stat 110



VAR G1=H/AK/AR NODE ATTRIBUTES: NSPEC IS C AT 11

DEFAULT MLEVEL IS ATOM

DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED NUMBER OF NODES IS 12

STEREO ATTRIBUTES: NONE

L7 22 SEA FILE=REGISTRY SSS FUL L5 L8 3 SEA FILE=HCAPLUS ABB=ON L7 L9 5 SEA FILE-USPATFULL ABB-ON L7

L10 8 DUP REMOV L8 L9 (0 DUPLICATES REMOVED)

=> d ibib abs hitstr 110 1-8

L10 ANSWER 1 OF 8 USPATFULL on STN

ACCESSION NUMBER: 2007:101189 USPATFULL Full-text

TITLE: 2-AMINO-IMIDAZO[4,5-D]PYRIDAZIN-4-ONES, THEIR

PREPARATION AND THEIR USE AS PHARMACEUTICAL

COMPOSITIONS

INVENTOR(S): Eckhardt, Matthias, Biberach, GERMANY, FEDERAL REPUBLIC

Himmelsbach, Frank, Mittelbiberach, GERMANY, FEDERAL

REPUBLIC OF

Langkopf, Elke, Warthausen, GERMANY, FEDERAL REPUBLIC

Hauel, Norbert, Schemmerhofen, GERMANY, FEDERAL

REPUBLIC OF

Tadayyon, Mohammad, Ulm, GERMANY, FEDERAL REPUBLIC OF

Thomas, Leo, Biberach, GERMANY, FEDERAL REPUBLIC OF

NUMBER KIND DATE -----US 20070088038 A1 20070419 US 2006-609621 A1 20061212 (11) PATENT INFORMATION:

APPLICATION INFO.: RELATED APPLN. INFO.: Division of Ser. No. US 2005-102048, filed on 8 Apr

2005, GRANTED, Pat. No. US 7179809

NUMBER DATE

PRIORITY INFORMATION: DE 2004-10200401773920040410

DE 2004-10200402555220040525

DOCUMENT TYPE: Utility

FILE SEGMENT: APPLICATION

LEGAL REPRESENTATIVE: MICHAEL P. MORRIS, BOEHRINGER INGELHEIM CORPORATION,

900 RIDGEBURY RD, P. O. BOX 368, RIDGEFIELD, CT,

06877-0368, US

NUMBER OF CLAIMS: EXEMPLARY CLAIM: 1

1297

LINE COUNT:

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The present invention relates to 2-amino-imidazo[4,5-d]pyridazin-4-ones and 2-amino-imidazo[4.5-c]pyridin-4-ones of general formula ##STR1## wherein R.sup.1 to R.sup.4 and X are defined as in claims 1 to 6, the tautomers, the enantiomers, the diastereomers, the mixtures thereof and the salts thereof, which have valuable pharmacological properties, particularly an inhibiting effect on the activity of the enzyme dipeptidylpeptidase-IV (DPP-IV).

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 866933-11-1P 866933-12-2P 866933-14-4P

866933-15-5P 866933-16-6P 866933-17-7P

(preparation of aminoimidazo[4,5-d]pyridazinones and aminoimidazo[4,5dlpyridinones as inhibitors of dipeptidylpeptidase IV)

RN 866933-11-1 USPATFULL

4H-Imidazo[4,5-d]pyridazin-4-one, 2-[(2-aminoethyl)methylamino]-3-(2-butyn-CN 1-y1)-3,5-dihydro-5-[(4-methyl-2-quinazolinyl)methyl]- (CA INDEX NAME)

RM 866933-12-2 USPATFULL

CN 4H-Imidazo[4,5-d]pyridazin-4-one, 2-[(2-aminoethyl)methylamino]-3-(2-butyn-1-y1)-3,5-dihydro-5-[(3-methyl-1-isoquinolinyl)methyl]- (CA INDEX NAME)

866933-14-4 USPATFULL RN

Benzonitrile, 2-[[2-[[(2S)-2-aminopropy1]methylamino]-3-(2-butyn-1-yl)-3, 4dihydro-4-oxo-5H-imidazo[4,5-d]pyridazin-5-yl]methyl]- (CA INDEX NAME)

RN 866933-15-5 USPATFULL

CN Benzonitrile, 2-[{2-[(2-amino-2-methylpropyl)methylamino]-3-(2-butyn-1-yl)-3,4-dihydro-4-oxo-5H-imidazo[4,5-d]pyridazin-5-yl]methyl]- (CA INDEX NAME)

RN 866933-16-6 USPATFULL

CN 4-Isoquinolinecarbonitrile, 3-[[2-[[(2S)-2-aminopropyl]methylamino]-3-(2-butyn-1-yl)-3,4-dihydro-4-oxo-5H-imidazo[4,5-d]pyridazin-5-yl]methyl]-(CA INDEX NAME)

Absolute stereochemistry.

RN 866933-17-7 USPATFULL

CN 4H-Tmidazo[4,5-d]pyridazin-4-one, 2-[[(28)-2-aminopropyl]methylamino]-3-(2-butyn-1-yl)-3,5-dihydro-5-[(4-methyl-2-quinazolinyl)methyl]- (CA INDEX NAME)

Absolute stereochemistry.

IT 366933-21-3P 866933-22-4P 866933-23-5P

866933-24-6P 866533-25-7P 866933-26-8P

866933-27-9P 866933-28-0P

(preparation of aminoimidazo[4,5-d]pyridazinones and aminoimidazo[4,5-d]pyridinones as inhibitors of dipeptidylpeptidase IV)

RN 866933-21-3 USPATFULL

CN Benzonitrile, 2-[[2-[[(2S)-2-aminopropyl]amino]-3-(2-butyn-1-y1)-3,4dihydro-4-oxo-5H-imidazo[4,5-d]pyridazin-5-y1]methyll- (CA INDEX NAME)

Absolute stereochemistry.

- RN 866933-22-4 USPATFULL
- CN Carbamic acid, [(1S)-2-[[1-(2-butynyl)-6,7-dihydro-6-[(4-methyl)-2-quinazolinyl)methyl]-7-oxo-1H-imidazo[4,5-d]pyridazin-2-yl]methylamino]1-methylethyl]-, phenylmethyl ester (9C1) (CA INDEX NAME)

Absolute stereochemistry.

- RN 866933-23-5 USPATFULL
- CN Carbamic acid, [(15)-2-[[1-(2-butynyl)-6-[(2-cyanophenyl)methyl]-6,7-dihydro-7-oxo-lH-imidazo[4,5-d]pyridazin-2-yl]amino]-1-methylethyl]-, 1,1-dimethylethyl ester (9C1) (CA INDEX NAME)

- RN 866933-24-6 USPATFULL
- CN Carbamic acid, [2-[[1-(2-butyny])-6-[(2-cyanopheny])methyl]-6,7-dihydro-7-oxo-lH-imidazo[4,5-d]pyridazin-2-yl]amino]-1,1-dimethylethyl]-,
  1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN 866933-25-7 USPATFULL

CN Carbamic acid, [(1S)-2-[[1-(2-butynyl)-6-[(4-cyano-3-isoquinolinyl)methyl]-6,7-dihydro-7-oxo-1H-imidazo[4,5-d]pyridazin-2-yl]amino]-1-methylethyl]-, 1,1-dimethylethyl ester (9C1) (CA INDEX NAME)

Absolute stereochemistry.

- RN 866933-26-8 USPATFULL
- CN Carbamic acid, [(18)-2-[[1-(2-butynyl)-6-[(2-cyanophenyl)methyl]-6,7-dihydro-7-oxo-1H-imidazo[4,5-d]pyridazin-2-yl]methylamino]-1-methylethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

- RN 866933-27-9 USPATFULL
- CN Carbamic acid, [2-[[1-(2-butynyl)-6-[(2-cyanophenyl)methyl]-6,7-dihydro-7-oxo-1H-imidazo[4,5-d]pyridazin-2-yl]methylamino]-1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & \text{Me-C} = \text{C-CH}_2 & \text{Me} \\ \text{CH} & \text{O} & \text{NH-} \stackrel{\text{O}}{\longleftarrow} \text{OBu-t} \\ \text{CH}_2 & \text{NH-} \stackrel{\text{O}}{\longleftarrow} \text{OBu-t} \\ \text{Me} & \text{Me} \end{array}$$

866933-28-0 USPATFULL

CN Carbamic acid, [(1S)-2-[[1-(2-butyny1)-6-[(4-cyano-3-isoquinoliny1)methy1]-6,7-dihydro-7-oxo-1H-imidazo[4,5-d]pyridazin-2-yl]methylamino]-1methylethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L10 ANSWER 2 OF 8 USPATFULL on STN

ACCESSION NUMBER: TITLE:

INVENTOR(S):

2006:118353 USPATFULL Full-text Novel condensed imidazole derivatives Yoshikawa, Seiji, Kamisu-machi, JAPAN Emori, Eita, Tsuchiura-shi, JAPAN Matsuura, Eumivoshi, Tsukuba-shi, JAPAN Clark, Richard, Tsuchiura-shi, JAPAN Ikuta, Hironori, Ushiku-shi, JAPAN Kira, Kazunobu, Tsukuba-shi, JAPAN Yasuda, Nobuyuki, Ushiku-shi, JAPAN Nagakura, Tadashi, Tsukuba-shi, JAPAN Yamazaki, Kazuto, Tsukuba-shi, JAPAN

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PATENT INFORMATION:	US 20060100199	A1	20060511	
APPLICATION INFO.:	US 2003-516971	A1	20030603	(10)
	WO 2003-JP7010		20030603	
			20050816	PCT 371 date
DOCUMENT TYPE:	Utility			

NUMBER

DOCUMENT TYPE:

FILE SEGMENT: APPLICATION TOWNSEND AND TOWNSEND AND CREW, LLP, TWO EMBARCADERO

LEGAL REPRESENTATIVE:

CENTER, EIGHTH FLOOR, SAN FRANCISCO, CA, 94111-3834, US NUMBER OF CLAIMS: 33

EXEMPLARY CLAIM: 1 LINE COUNT: 9372

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

The present invention is related to compounds represented by the following formula, or salts or hydrates thereof ##STR1## wherein,

T.sup.1 represents a 4- to 12-membered heterocyclic group containing one or two nitrogen atoms in the ring, which is a monocyclic or bicyclic structure that may have one or more substituents;

X represents a C.sub.1-6 alkyl group which may have one or more substituents, or such;

Z.sup.1 and Z.sup.2 each independently represent a nitrogen atom or a group represented by the formula -- CR. sup. 2--;

R.sup.1 and R.sup.2 independently represent a hydrogen atom, a C.sub.1-6 alkyl

# 10/516,971

group which may have one or more substituents, or a C.sub.1-6 alkoxy group which may have one or more substituents, or such. These are novel compounds that exhibit an excellent

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

- IT 635722-38-2F 635722-40-6P
- (preparation of purinone derivs. as dipeptidylpeptidase IV inhibitors)
- RN 635722-38-2 USPATFULL CN 4H-Imidazo[4,5-d]pyridazin-4-one, 2-[[(1R,2R)-2-aminocyclohexyl]amino]-3-
- CN 4H-Imidazo[4,5-d]pyridazin-4-one, 2-[[(1R,2R)-2-aminocyclohexyl]amino]-3-(2-butyn-1-yl)-3,5-dihydro-5-methyl-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)
  - CM 1
  - CRN 635722-37-1 CMF C16 H22 N6 O

Absolute stereochemistry.

- CM 2
- CRN 76-05-1
- CMF C2 H F3 O2

- RN 635722-40-6 USPATFULL
  - CN 4H-Imidazo[4,5-d]pyridazin-4-one, 2-[[(1R,2S)-2-aminocyclohexyl]amino]-3-(2-butyn-1-y1)-3,5-dihydro-5-methyl-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)
    - CM 1
    - CRN 635722-39-3
    - CMF C16 H22 N6 O

CM 2

CRN 76-05-1 CMF C2 H F3 O2

L10 ANSWER 3 OF 8 USPATFULL on STN

ACCESSION NUMBER: 2006:74738 USPATFULL Full-text TITLE: Condensed imidazole derivatives

INVENTOR(S): Yoshikawa, Seiji, Kashima-gun, JAPAN Emori, Eita, Tsuchiura-shi, JAPAN

Matsuura, Fumiyoshi, Tsukuba-shi, JAPAN Clark, Richard, Tsuchiura-shi, JAPAN Ikuta, Hironori, Ushiku-shi, JAPAN

Kira, Kazunobu, Tsukuba-shi, JAPAN Yasuda, Nobuyuki, Ushiku-shi, JAPAN Nagakura, Tadashi, Tsukuba-shi, JAPAN Yamazaki, Kazuto, Tsukuba-shi, JAPAN

PATENT ASSIGNEE(S): Eisai Co., Ltd., Bunkyo-ku, JAPAN (non-U.S. corporation)

NUMBER KIND DATE PATENT INFORMATION:

US 20060063787 A1 20060323 US 2005-212407 A1 20050826 (11) APPLICATION INFO.: RELATED APPLN. INFO.: Continuation of Ser. No. US 2003-457002, filed on 6 Jun

2003, ABANDONED NUMBER DATE

PRIORITY INFORMATION: JP 2002-307750 20021023 JP 2002-209373 20020718 JP 2002-166069 20020606 DOCUMENT TYPE: Utility

FILE SEGMENT: APPLICATION

LEGAL REPRESENTATIVE: TOWNSEND AND TOWNSEND AND CREW, LLP, TWO EMBARCADERO CENTER, EIGHTH FLOOR, SAN FRANCISCO, CA, 94111-3834, US

NUMBER OF CLAIMS: 33 EXEMPLARY CLAIM: 1 LINE COUNT: 9256

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

- T.sup.1 represents a 4- to 12-membered heterocyclic group containing one or two nitrogen atoms in the ring, which is a monocyclic or bicyclic structure that may have one or more substituents;
- X represents a C.sub.1-6 alkyl group which may have one or more substituents, or such;
- Z.sup.1 and Z.sup.2 each independently represent a nitrogen atom or a group represented by the formula --CR.sup.2--;
- R.sup.1 and R.sup.2 independently represent a hydrogen atom, a C.sub.1-6 alkyl group which may have one or more substituents, or a C.sub.1-6 alkoxy group which may have one or more substituents, or such. These are novel compounds that exhibit an excellent DPPIV-inhibiting activity.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 635722-38-2P 635722-40-6P

(preparation of purinone derivs. as dipeptidylpeptidase IV inhibitors)

- RN 635722-38-2 USPATFULL
- CN 4H-Imidazo[4,5-d]pyridazin-4-one, 2-[[(1R,2R)-2-aminocyclohexyl]amino]-3-(2-butyn-1-yl)-3,5-dihydro-5-methyl-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

- CRN 635722-37-1
- CMF C16 H22 N6 O

Absolute stereochemistry.

CM 2

CRN 76-05-1

CMF C2 H F3 O2

- RN 635722-40-6 USPATFULL
- CN 4H-Imidazo[4,5-d]pyridazin-4-one, 2-[[(1R,2S)-2-aminocyclohexyl]amino]-3-(2-butyn-1-yl)-3,5-dihydro-5-methyl-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 635722-39-3 CMF C16 H22 N6 O

Absolute stereochemistry.

CM :

CRN 76-05-1 CMF C2 H F3 O2

L10 ANSWER 4 OF 8 HCAPLUS COPYRIGHT 2008 ACS on STN ACCESSION NUMBER: 2005:1130640 HCAPLUS Full-text

DOCUMENT NUMBER: 143:387050

TITLE: Preparation of aminoimidazo[4,5-d]pvridazinones and

aminoimidazo[4,5-c]pyridinones as inhibitors of

dipeptidylpeptidase IV

INVENTOR(S): Eckhardt, Matthias; Himmelsbach, Frank; Langkopf, Elke; Hauel, Norbert; Tadayyon, Mohammad; Thomas, Leo

PATENT ASSIGNEE(S): Boehringer Ingelheim International G.m.b.H., Germany;

Boehringer Ingelheim Pharma G.m.b.H. & Co. K.-G. SOURCE: PCT Int. Appl., 63 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: Facent

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATE	PATENT NO.				KIND DATE				APPL	ICAT	DATE							
													-					
WO 2	WO 2005097798				A1	A1 20051020				WO 2	005-	EP34	74		20050402			
	W:	ΑE,	AG,	AL,	AM,	AT,	AU,	AZ,	BA,	BB,	BG,	BR,	BW,	BY,	BZ,	CA,	CH,	
		CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,	
		GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KΕ,	KG,	KP,	KR,	ΚZ,	LC,	
		LK,	LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NA,	NI,	
		NO,	NZ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SM,	
		SY,	ΤJ,	TM,	TN,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VC,	VN,	YU,	ZA,	ZM,	zw
	RW:	BW,	GH,	GM,	KE,	LS,	MW,	MZ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	
		ΑZ,	BY,	KG,	KZ,	MD,	RU,	ΤJ,	TM,	ΑT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	

	3	EE,	ES,	FI,	FR,	GB,	GR,	HU,	IE,	IS	, IT,	LT,	LU,	MC,	NL,	PL,	PT,
	]	RO,	SE,	SI,	SK,	TR,	BF,	ВJ,	CF,	CG	, CI,	CM,	GA,	GN,	GQ,	GW,	ML,
	1	MR,	NE,	SN,	TD,	TG											
DE	10200	401	7739		A1		2005	1027		DE	2004-	1020	0401	7739	2	0040	410
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CA	256123	10			A1		2005	1020		CA	2005-	2561	210		2	0050	402
EP	174058	89			A1		2007	0110		EP	2005-	7165	07		2	0050	402
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		IS,	IT,	LI,	LT,	LU,	MC,	NL,	PL,	PT	, RO,	SE,	SI,	SK,	TR,	AL,	BA,
	3	HR,	LV,	MK,	YU												
JP	200753	3178	80		T		2007	1108		JP	2007-	5067	03		2	0050	402
US	200502	2342	235		A1		2005	1020		US	2005-	1020	48		2	0050	408
US	717980	09			B2		2007	0220									
US	200700	0880	038		A1		2007	0419		US	2006-	6096	21		2	0061	212
PRIORIT	APPL1	V. :	INFO	. :						DE	2004-	1020	0401	77392	A 2	0040	410
										DE	2004-	1020	0402	55522	A 2	0040	525
										US	2004-	5681	37P	1	2	0040	505
										US	2004-	5822	65P	1	2	0040	623
										WO	2005-	EP34	74	1	1 2	0050	402
										US	2005-	1020	48		A3 2	0050	408
OTHER SO	OURCE (	S):			MARI	PAT	143:	38705	50								

 $\mathbb{R}^1$   $\mathbb{N}$   $\mathbb{N}$   $\mathbb{R}^3$   $\mathbb{R}^4$ 

GI

AB Title compds. I [Rl = arylmethyl, arylethyl, heteroarylmethyl, etc.; X = N or CRS, R5 = H or alkyl; R2 = H, aryl, heteroaryl, etc.; R3 = (un)substituted cycloalkenylmethyl, alkenyl, alkynyl, etc.; R4 = NR6R7; R6 = H, alkyl, cycloalkyl, etc.; R7 = (un)substituted alkyl-R8; R8 = amino or alkylamino] and their pharmaceutically acceptable salts, are prepared and disclosed as inhibitors of dipeptidylpeptidase IV (DPP-IV). Thus, e.g., II was prepared by amination of 2-bromo-3-(2-buten-1-y1)-5-[(4-methyl-chinazolin-2-y1)-methyl]-3,5-dihydro[4,5-d]pyridazin-4-one (preparation given) with N-methyl-ethylenediamine. The activity of I was evaluated using fluorescence inhibition assays and it was revealed that selected compds. of the invention possessed IC50 values in the range of 1 up to 336 nM. I as inhibitor of DPP-IV should prove useful in the treatment of diseases such as but not limited to disease, obesity and arthritis. Pharmaceutical compns. comprising I are disclosed.

IT 866933-11-1P 866933-12-2P 866933-14-4P

866933-15-5P 866933-16-6P 866933-17-7P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of aminoimidazo[4,5-d]pyridazinones and aminoimidazo[4,5-d]pyridinones as inhibitors of dipeptidylpeptidase IV)

RN 866933-11-1 HCAPLUS

CN 4H-Imidazo[4,5-d]pyridazin-4-one, 2-[(2-aminoethyl)methylamino]-3-(2-butyn-1-yl)-3,5-dihydro-5-[(4-methyl-2-quinazolinyl)methyl]- (CA INDEX NAME)

$$\begin{array}{c} \text{Me-C} = \text{C-CH2} \\ \text{O} \\ \text{O} \\ \text{II} \\ \text{CH2} - \text{III} \\ \text{Ne} \\ \end{array} \\ \text{CH2} - \text{CH2} - \text{NH2} \\ \text{NH2} \\ \text{CH2} - \text{CH2} - \text{NH2} \\ \text{NH3} \\ \text{CH2} - \text{CH2} - \text{NH3} \\ \text{CH3} - \text{CH3} - \text{CH3} \\ \text{CH3} - \text{CH3} - \text{CH3} \\ \text{CH3} - \text{CH3} - \text{CH3} - \text{CH3} - \text{CH3} \\ \text{CH3} - \text{CH3} - \text{CH3} - \text{CH3} - \text{CH3} \\ \text{CH3} - \text{CH3} - \text{CH3} - \text{CH3} - \text{CH3} \\ \text{CH3} - \text{CH3} - \text{CH3} - \text{CH3} - \text{CH3} \\ \text{CH3} - \text{CH3} - \text{CH3} - \text{CH3} - \text{CH3} \\ \text{CH3} - \text{CH3} - \text{CH3} - \text{CH3} - \text{CH3} \\ \text{CH3} - \text{CH3} - \text{CH3} - \text{CH3} - \text{CH3} \\ \text{CH3} - \text{CH3} - \text{CH3} - \text{CH3} - \text{CH3} \\ \text{CH3} - \text{CH3} - \text{CH3} - \text{CH3} - \text{CH3} \\ \text{CH3} - \text{CH3} - \text{CH3} - \text{CH3} - \text{CH3} \\ \text{CH3} - \text{CH3} - \text{CH3} - \text{CH3} - \text{CH3} - \text{CH3} \\ \text{CH3} - \text{CH3} - \text{CH3} - \text{CH3} - \text{CH3} - \text{CH3} \\ \text{CH3} - \text{CH3} - \text{CH3} - \text{CH3} - \text{CH3} - \text{CH3} \\ \text{CH3} - \text{CH3} - \text{CH3} - \text{CH3} - \text{CH3} - \text{CH3} \\ \text{CH3} - \text{CH3} - \text{CH3} - \text{CH3} - \text{CH3} - \text{CH3} - \text{CH3} \\ \text{CH3} - \text{CH3} - \text{CH3} - \text{CH3} - \text{CH3} - \text{CH3} - \text{CH3} \\ \text{CH3} - \text{CH3} - \text{CH3} - \text{CH3} - \text{CH3} - \text{CH3} - \text{CH3} \\ \text{CH3} - \text{CH3} \\ \text{CH3} - \text{CH3} \\ \text{CH3} - \text{CH$$

RN 866933-12-2 HCAPLUS

CN 4H-Imidazo[4,5-d]pyridazin-4-one, 2-[(2-aminoethyl)methylamino]-3-(2-butyn-1-yl)-3,5-dihydro-5-[(3-methyl-1-isoquinolinyl)methyl]- (CA INDEX NAME)

RN 866933-14-4 HCAPLUS

CN Benzonitrile, 2-[[2-[[(2S)-2-aminopropyl]methylamino]-3-(2-butyn-1-yl)-3,4-dihydro-4-oxo-5H-imidazo[4,5-d]pyridazin-5-yl]methyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 866933-15-5 HCAPLUS

CN Benzonitrile, 2-[[2-[(2-amino-2-methylpropy1)methylamino]-3-(2-butyn-1-y1)-3,4-dihydro-4-oxo-5H-imidazo[4,5-d]pyridazin-5-y1]methyl]- (CA INDEX NAME)

RN 866933-16-6 HCAPLUS

CN 4-Isoquinolinecarbonitrile, 3-[[2-[[(2S)-2-aminopropyl]methylamino]-3-(2-butyn-1-yl)-3, 4-dihydro-4-oxo-5H-imidazo[4,5-d]pyridazin-5-yl]methyl]-(CA INDEX NAME)

Absolute stereochemistry.

RN 866933-17-7 HCAPLUS

CN 4H-Imidazo[4,5-d]pyridazin-4-one, 2-[[(2S)-2-aminopropyl]methylamino]-3-(2-butyn-1-yl)-3,5-dihydro-5-[(4-methyl-2-quinazolinyl)methyl)- (CA INDEX NAME)

Absolute stereochemistry.

IT 866933-21-3P 866933-22-4P 866933-23-5P 866933-24-6P 866933-25-7P 866933-26-8P

866933-27-9P 866933-28-0P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of aminoimidazo[4,5-d]pyridazinones and aminoimidazo[4,5-d]pyridinones as inhibitors of dipertidylpeptidase IV)

RN 866933-21-3 HCAPLUS

CN Benzonitrile, 2-[[2-[[(2S)-2-aminopropyl]amino]-3-(2-butyn-1-yl)-3,4-dihydro-4-oxo-5H-imidazo[4,5-d]pyridazin-5-yl]methyl]- (CA INDEX NAME)

- RN 866933-22-4 HCAPLUS
- CN Carbamic acid, [(18)-2-[[1-(2-butynyl)-6,7-dihydro-6-](4-methyl-2-quinazolinyl)methyl]-7-oxo-1H-imidazo[4,5-d]pyridazin-2-yl]methylamino]-1-methylethyl]-, phenylmethyl ester (901) (CA INDEX NAME)

Absolute stereochemistry.

- RN 866933-23-5 HCAPLUS
- CN Carbamic acid, [(15)-2-[[1-(2-butynyl)-6-[(2-cyanophenyl)methyl]-6,7-dihydro-7-oxo-1H-imidazo[4,5-d]pyridazin-2-yl]amino]-1-methylethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

- RN 866933-24-6 HCAPLUS
- CN Carbamic acid, [2-[1-(2-butynyl)-6-[(2-cyanophenyl)methyl]-6,7-dihydro-7-oxo-1H-imidazo[4,5-d]pyridazin-2-yl]aminol-1,1-dimethylethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN 866933-25-7 HCAPLUS

CN Carbamic acid, [(1S)-2-[[1-(2-butynyl)-6-[(4-cyano-3-isoquinolinyl)methyl]-6,7-dihydro-7-oxo-1H-imidazo[4,5-d]pyridazin-2-yl]amino]-1-methylethyl]-,1,1-dimethylethyl ester (9C1) (CA INDEX NAME)

Absolute stereochemistry.

RN 866933-26-8 HCAPLUS

CN Carbamic acid, [(1S)-2-[[1-(2-butynyl)-6-[(2-cyanophenyl)methyl]-6, 7-dihydro-7-oxo-1H-imidazo[4,5-0]pyridazin-2-yl]methylamino]-1-methylethyl-1, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

- RN 866933-27-9 HCAPLUS
- CN Carbamic acid, [2-[[1-(2-butynyl)-6-[(2-cyanophenyl)methyl]-6,7-dihydro-7-oxo-1H-imidazo[4,5-d]pyridazin-2-yl]methylamino]-1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{Me-C} = \text{C-CH}_2 \\ \text{O} \\ \text{CN} \\ \text{CH}_2 = \text{N} \\ \text{Me} \\ \text{Me} \\ \end{array} \\ \begin{array}{c} \text{OBu-t} \\ \text{Me} \\ \text{Me} \\ \end{array}$$

RN 866933-28-0 HCAPLUS

CN Carbamic acid, [(1S)-2-[[1-(2-butyny1)-6-[(4-cyano-3-isoquinoliny1)methy1]6,7-dihydro-7-oxo-1H-imidazo[4,5-d]pyridazin-2-y1]methy1amino]-1methy1ethy1]-, 1,1-dimethy1ethy1 ester (9C1) (CA INDEX NAME)

THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS REFERENCE COUNT: 3 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 5 OF 8 USPATFULL on STN

ACCESSION NUMBER: 2005:268915 USPATFULL Full-text

TITLE: 2-Amino-imidazo[4,5-d]pyridazin-4-ones, their preparation and their use as pharmaceutical

compositions

INVENTOR(S): Eckhardt, Matthias, Biberach, GERMANY, FEDERAL REPUBLIC

OF

Himmelsbach, Frank, Mittelbiberach, GERMANY, FEDERAL REPUBLIC OF

Langkopf, Elke, Warthausen, GERMANY, FEDERAL REPUBLIC

Hauel, Norbert, Schemmerhofen, GERMANY, FEDERAL

REPUBLIC OF

Tadayyon, Mohammad, Ulm, GERMANY, FEDERAL REPUBLIC OF

Thomas, Leo, Biberach, GERMANY, FEDERAL REPUBLIC OF Boehringer Ingelheim International GmbH, Ingelheim,

20040623 (60)

DATE

PATENT ASSIGNEE(S): GERMANY, FEDERAL REPUBLIC OF (non-U.S. corporation)

KIND

		NONDER	KTMD	DAIL	
PATENT INFORMATION:	US	20050234235	A1	20051020	
	US	7179809	B2	20070220	
APPLICATION INFO.:	US	2005-102048	A1	20050408	(11)
		NUMBER	DA.	ΓE	
PRIORITY INFORMATION:	DE	2004-10200	20040	0410	
	DE		20040	0525	
	TTC	2004-5601270	2004	1505 /601	

MIMBED

US 2004-582265P DOCUMENT TYPE: Utility

FILE SEGMENT: APPLICATION.

LEGAL REPRESENTATIVE: MICHAEL P. MORRIS, BOEHRINGER INGELHEIM CORPORATION, 900 RIDGEBURY ROAD, P. O. BOX 368, RIDGEFIELD, CT,

06877-0368, US

NUMBER OF CLAIMS: Я EXEMPLARY CLAIM: 1 LINE COUNT: 1348

AB

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

The present invention relates to 2-amino-imidazo[4,5-d]pyridazin-4-ones and 2-amino-imidazo[4,5-c]pyridin-4-ones of general formula ##STR1## wherein R.sup.1 to R.sup.4 and X are defined as in claims 1 to 6, the tautomers, the enantiomers, the diastereomers, the mixtures thereof and the salts thereof, which have valuable pharmacological properties, particularly an inhibiting effect on the activity of the enzyme dipeptidylpeptidase-IV (DPP-IV).

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

- IT 866933-11-1P 866933-12-2P 866933-14-4P
- 866933-15-5P 866933-16-6P 866933-17-7P

(preparation of aminoimidazo[4,5-d]pyridazinones and aminoimidazo[4,5-d]pyridinones as inhibitors of dipeptidylpeptidase IV)

- RN 866933-11-1 USPATFULL
- CN 4H-Imidazo[4,5-d]pyridazin-4-one, 2-[(2-aminoethyl)methylamino]-3-(2-butyn-1-v1)-3.5-dihydro-5-[(4-methyl-2-quinazolinyl)methyl]- (CA INDEX NAME)

$$\begin{array}{c} \text{Me-} \text{ } \text{C} \\ \text{O} \\ \text{O} \\ \text{CH2} \\ \text{H} \\ \text{CH2} \\ \text$$

- RN 866933-12-2 USPATFULL
- CN 4H-Imidazo[4,5-d]pyridazin-4-one, 2-[(2-aminoethyl)methylamino]-3-(2-butyn-1-yl)-3,5-dihydro-5-[(3-methyl-1-isoquinolinyl)methyl]- (CA INDEX NAME)

- RN 866933-14-4 USPATFULL
- CN Benzonitrile, 2-[[2-[[(2S)-2-aminopropyl]methylamino]-3-(2-butyn-1-yl)-3,4dihydro-4-oxo-5H-imidazo[4,5-d]pyridazin-5-yl]methyl]- (CA INDEX NAME)

- RN 866933-15-5 USPATFULL
- CN Benzonitrile, 2-[[2-[(2-amino-2-methylpropyl)methylamino]-3-(2-butyn-1-yl)-3,4-dihydro-4-oxo-5H-imidazo[4,5-d]pyridazin-5-yl]methyl]- (CA INDEX NAME)

$$\begin{array}{c} \text{Me} - \text{C} & \text{C} - \text{CH2} \\ \text{CH2} & \text{Me} \\ \text{CH2} & \text{Me} \\ \end{array}$$

- RN 866933-16-6 USPATFULL
- CN 4-Isoquinolinecarbonitrile, 3-[[2-[[(2S)-2-aminopropyl]methylamino]-3-(2-butyn-1-yl)-3,4-dihydro-4-oxo-5H-imidazo[4,5-d]pyridazin-5-yl]methyl](CA INDEX NAME)

Absolute stereochemistry.

- RN 866933-17-7 USPATFULL
- CN 4H-Imidazo[4,5-d]pyridazin-4-one, 2-[[(2S)-2-aminopropyl]methylamino]-3-(2-butyn-1-yl)-3,5-dihydro-5-[(4-methyl-2-quinazolinyl)methyl]- (CA INDEX NAME)

Absolute stereochemistry.

- IT 866933-21-3P 866933-22-4P 866933-23-5P 866933-24-6P 866933-25-7P 866933-26-8P
  - 866933-27-9P 866933-28-0P
  - (preparation of aminoimidazo[4,5-d]pyridazinones and aminoimidazo[4,5-d]pyridinones as inhibitors of dipeptidylpeptidase IV)
- RN 866933-21-3 USPATFULL
- CN Benzonitrile, 2-[[2-[[(2S)-2-aminopropyl]amino]-3-(2-butyn-1-yl)-3,4-dihydro-4-oxo-5H-imidazo[4,5-d]pyridazin-5-yl]methyl]- (CA INDEX NAME)

- RN 866933-22-4 USPATFULL
- CN Carbamic acid, [(1S)-2-[[1-(2-butyny1)-6,7-dihydro-6-[(4-methy1-2-quinazoliny1)methyl]-7-oxo-1H-imidazo[4,5-d]pyridazin-2-y1]methylamino]1-methylethyl]-, phenylmethyl ester (9C1) (CA INDEX NAME)

Absolute stereochemistry.

- RN 866933-23-5 USPATFULL
- CN Carbamic acid, [(18)-2-[[1-(2-butynyl)-6-[(2-cyanophenyl)methyl]-6,7-dihydro-7-oxo-1H-imidazo[4,5-d]pyridazin-2-yl]amino]-1-methylethyl]-, 1,1-dimethylethyl ester (9C1) (CA INDEX NAME)

- RN 866933-24-6 USPATFULL
- CN Carbamic acid, [2-[[1-(2-butyny])-6-[(2-cyanopheny])methyl]-6,7-dihydro-7-oxo-lH-imidazo[4,5-d]pyridazin-2-yl]amino]-1,1-dimethylethyl]-,
  1,1-dimethylethyl ester (SCI) (CA INDEX NAME)

- RN 866933-25-7 USPATFULL
- CN Carbamic acid, [(1S)-2-[[1-(2-butyny])-6-[(4-cyano-3-isoquinoliny])methyl]6,7-dihydro-7-oxo-1H-imidazo[4,5-d]pyridazin-2-yl]amino[-1-methylethyl], 1,1-dimethylethyl ester (9C1) (CA INDEX NAME)

Absolute stereochemistry.

- RN 866933-26-8 USPATFULL
- CN Carbamic acid, [(18)-2-[[1-(2-butyny1)-6-[(2-cyanopheny1)methyl]-6,7-dihydro-7-oxo-1H-imidazo[4,5-d]pyridazin-2-yl]methylamino]-1-methylethyl]-, 1,1-dimethylethyl ester (901) (CA INDEX NAME)

Absolute stereochemistry.

- RN 866933-27-9 USPATFULL
- CN Carbamic acid, [2-[[1-(2-butynyl)-6-[(2-cyanophenyl)methyl]-6,7-dihydro-7-oxo-1H-midazo[4,5-d]pyridazin-2-yl]methylamino]-1,1-dimethylethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{Me-C} = \text{C-CH}_2 \\ \text{CN} \\ \text{CH}_2 = \text{NH} \\ \text{CH}_2 = \text{C-Me} \\ \text{Me} \end{array}$$

- RN 866933-28-0 USPATFULL
- CN Carbamic acid, [(1S)-2-[[1-(2-butynyl)-6-[(4-cyano-3-isoquinolinyl)methyl]-6,7-dihydro-7-oxo-1H-imidazo[4,5-d]pyridazin-2-yl]methylamino]-1-methylethyl]-1,1-dimethylethyl ester (901) (CA INDEX NAME)

L10 ANSWER 6 OF 8 USPATFULL on STN

2004:152106 USPATFULL Full-text ACCESSION NUMBER: TITLE: Condensed imidazole derivatives INVENTOR(S): Yoshikawa, Seiji, Kashima-gun, JAPAN

Emori, Eita, Tsuchiura-shi, JAPAN Matsuura, Fumiyoshi, Tsukuba-shi, JAPAN Clark, Richard, Tsuchiura-shi, JAPAN Ikuta, Hironori, Ushiku-shi, JAPAN Kira, Kazunobu, Tsukuba-shi, JAPAN Yasuda, Nobuyuki, Tsuchiura-shi, JAPAN Nagakura, Tadashi, Ushiku-shi, JAPAN

10)

Yamazaki, Kazuto, Tsukuba-shi, JAPAN PATENT ASSIGNEE(S):

Eisai Co., Ltd., Tokyo, JAPAN (non-U.S. corporation)

	NUMBER	KIND	DATE	
PATENT INFORMATION:	US 20040116328	A1	20040617	
APPLICATION INFO.:	US 2003-457002	A1	20030606	(:

			NUMBER	DAIE
PRIORITY	INFORMATION:	JP	2002-166069	20020606
		JP	2002-209373	20020718
		JΡ	2002-307750	20021023
DOCUMENT	TYPE:	Ut:	ility	

DOCUMENT TYPE:

APPLICATION FILE SEGMENT:

LEGAL REPRESENTATIVE: TOWNSEND AND TOWNSEND AND CREW, LLP, TWO EMBARCADERO CENTER, EIGHTH FLOOR, SAN FRANCISCO, CA, 94111-3834

NUMBER OF CLAIMS: 33

EXEMPLARY CLAIM: 1 LINE COUNT: 9667

CAS INDEXING IS AVAILABLE FOR THIS PATENT. AB

The present invention is related to compounds represented by the following formula, or salts or hydrates thereof ##STR1##

wherein.

T.sup.1 represents a 4- to 12-membered heterocyclic group containing one or two nitrogen atoms in the ring, which is a monocyclic or bicyclic structure that may have one or more substituents;

X represents a C.sub.1-6 alkyl group which may have one or more substituents, or such;

Z.sup.1 and Z.sup.2 each independently represent a nitrogen atom or a group represented by the formula --CR.sup.2--;

R.sup.1 and R.sup.2 independently represent a hydrogen atom, a C.sub.1-6 alky1 group which may have one or more substituents, or a C.sub.1-6 alkoxy group which may have one or more substituents, or such.

These are novel compounds that exhibit an excellent DPPIV-inhibiting activity.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

- IT 635722-38-2P 635722-40-6P
- (preparation of purinone derivs. as dipeptidylpeptidase IV inhibitors)
- RN 635722-38-2 USPATFULL
- CN 4H-Imidazo[4,5-d]pyridazin-4-one, 2-[[(1R,2R)-2-aminocyclohexyl]amino]-3-(2-butyn-1-yl)-3,5-dihydro-5-methyl-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)
  - CM 1
  - CRN 635722-37-1
  - CMF C16 H22 N6 O

- CM 2
- CRN 76-05-1
- CMF C2 H F3 O2

- RN 635722-40-6 USPATFULL
- CN 4H-Imidazo[4,5-d]pyridazin-4-one, 2-[[(1R,28)-2-aminocyclohexyl]amino]-3-(2-butyn-1-yl)-3,5-dihydro-5-methyl-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)
  - CM 1
  - CRN 635722-39-3

CMF C16 H22 N6 O

Absolute stereochemistry.

CM 2

CRN 76-05-1 CMF C2 H F3 O2

L10 ANSWER 7 OF 8 HCAPLUS COPYRIGHT 2008 ACS on STN ACCESSION NUMBER: 2003:991509 HCAPLUS Full-text

DOCUMENT NUMBER: 140:42192

TITLE:

Preparation of purinone derivatives as dipeptidvlpeptidase IV (DPP-IV) inhibitors

INVENTOR(S):

Yoshikawa, Seiji; Emori, Eita; Matsuura, Fumiyoshi; Richard, Clark; Ikuta, Hironori; Kira, Kazunobu;

Yasuda, Nobuyuki; Nagakura, Tadashi; Yamazaki, Kazuto

PATENT ASSIGNEE(S): Eisai Co., Ltd., Japan

SOURCE: PCT Int. Appl., 376 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PAT	ENT	NO.			KIND DATE			- 2	APPL	ICAT	DATE							
WO	WO 2003104229			A1 200		2003	20031218		WO 2	003-	JP70	10		20030603				
	W:	ΑE,	AG,	AL,	AM,	AT,	AU,	AZ,	BA,	BB,	BG,	BR,	BY,	BZ,	CA,	CH,	CN,	
		CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	ES,	FI,	GB,	GD,	GE,	GH,	
		GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KΕ,	KG,	KR,	KZ,	LC,	LK,	LR,	LS,	
		LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NI,	NO,	NZ,	OM,	PH,	
		PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	ТJ,	TM,	TN,	TR,	TT,	TZ,	
		UA,	UG,	US,	UZ,	VC,	VN,	YU,	ZA,	ZM,	ZW							
	RW:	GH,	GM,	KE,	LS,	MW,	MZ,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	AZ,	BY,	
		KG,	KZ,	MD,	RU,	ΤJ,	TM,	AT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	
		FΙ,	FR,	GB,	GR,	HU,	IE,	IT,	LU,	MC,	NL,	PT,	RO,	SE,	SI,	SK,	TR,	
		BF,	ВJ,	CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	MR,	NE,	SN,	TD,	TG	
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AU 2003241960				A1		2003	1222		AU 2	003-	2419	60		20030603				

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	R:	AT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GF	٦,	IT,	LI,	LU,	NL,	SE	MC,	PT,			
		IE,	SI,	LT,	LV,	FI,	RO,	MK,	CY,	ΑI		TR,	BG,	CZ,	EE,	HU	SK				
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CN	1675	208			A		2005	0928		CN	20	03-	8189	68			20030	603			
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	2005				A			0601					41				20050				
	2005				A			0210					54				20050				
	2006				A1			0511					5169				20050				
	2006				A1			0323					2124				20050				
	2006				A		2007	0706					CN35				20060				
PRIORIT	Y APP	LN.	INFO	.:									1660				20020				
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													JP70				20030				
													4570				20030				
										IN	20	04-	CN29	90		A3 :	20041	231			
OTHER S	JURCE	(S):			MARP	ΑT	140:	42192	2												

GI

- AB The title compds. I [wherein TI is an optionally substituted, monocyclic or bicyclic, 4- to 12-memberd, heterocyclic group containing one or two nitrogen atoms in the ring; X is optionally substituted C1-6 alkyl, etc.; Z1 and Z2 each independently is nitrogen, CR2; and R1 and R2 each independently is hydrogen, optionally substituted C1-6 alkyl, optionally substituted C1-6 alkoy, etc.] are prepared Compds. of this invention in vitro showed IC50 values of 0.001 µM to 1.48 µM against diepetidylepetidase IV.
- IT 635722-36-2P 635722-40-6P R: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
- (preparation of purinone derivs. as dipeptidylpeptidase IV inhibitors) RN 635722-38-2 HCAPLUS
- CN 4H-Imidazo[4,5-d]pyridazin-4-one, 2-[[(1R,2R)-2-aminocyclohexyl]amino]-3-(2-butyn-1-yl)-3,5-dihydro-5-methyl-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

(Uses)

CRN 635722-37-1 CMF C16 H22 N6 O

Absolute stereochemistry.

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 635722-40-6 HCAPLUS

CN 4H-Imidazo[4,5-d]pyridazin-4-one, 2-[[(1R,28)-2-aminocyclohexyl]amino]-3-(2-butyn-1-y1)-3,5-dihydro-5-methyl-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 635722-39-3

CMF C16 H22 N6 O

Absolute stereochemistry.

CM :

CRN 76-05-1

CMF C2 H F3 O2

REFERENCE COUNT: 38 THERE ARE 38 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 8 OF 8 HCAPLUS COPYRIGHT 2008 ACS on STN ACCESSION NUMBER: 1983:612483 HCAPLUS Full-text

DOCUMENT NUMBER: 99:212483

ORIGINAL REFERENCE NO.: 99:32702h,32703a

TITLE: Heterocyclic hydrazines and hydrazones. IV. Synthesis of hydrazine derivatives in the

[4,5-d]imidazo-4-pyridazinone series

AUTHOR(S): Beljean-Leymarie, Martine; Pays, Michel; Richer, Jean

Claude CORPORATE SOURCE:

UER Sci. Pharm., Caen, 14032, Fr. Canadian Journal of Chemistry (1983), 61(11), 2563-6 SOURCE:

CODEN: CJCHAG; ISSN: 0008-4042

DOCUMENT TYPE: Journal LANGUAGE: French

OTHER SOURCE(S):

CASREACT 99:212483

- Imidazopyridazinones I (R = Me, Ph) were prepared from the corresponding diaminopyridazinones by cyclocondensation with CS2. Methylation of I gave mixts. of II and III, whose structures have been established by the Overhauser effect. The imidazopyridazinones are used for preparation of hydrazines and hydrazones. The mass spectra of several key intermediates are presented and discussed.
- 87946-47-2 87946-48-3

RL: RCT (Reactant); RACT (Reactant or reagent) (of thioxoimidazopyridazinones)

RN 87946-47-2 HCAPLUS

CN 1H-Imidazo[4,5-d]pyridazine-2,4-dione, 3,5-dihydro-3-methyl-5-phenyl-, 2-hydrazone (9CI) (CA INDEX NAME)

# 10/516,971

- RN 87946-48-3 HCAPLUS
- CN 1H-Imidazo[4,5-d]pyridazine-2,4-dione, 3,5-dihydro-5-phenyl-, 2-hydrazone (9CI) (CA INDEX NAME)

IT 87946-44-9P 87946-45-0P

RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of)

- RN 87946-44-9 HCAPLUS
- CN 1H-Imidazo[4,5-d]pyridazine-2,4-dione, 3,5-dihydro-3,5-dimethyl-, 2-hydrazone (9CI) (CA INDEX NAME)

- RN 87946-45-0 HCAPLUS
- CN 1H-Imidazo[4,5-d]pyridazine-2,4-dione, 3,5-dihydro-5-methyl-, 2-hydrazone (9CI) (CA INDEX NAME)

#### SEARCH HISTORY

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(FILE 'HOME' ENTERED AT 16:08:34 ON 26 JUN 2008)

FILE 'HCAPLUS' ENTERED AT 16:08:59 ON 26 JUN 2008

E SEIJI YOSHIKAWA/AU E EMORI EITA/AU

16 SEA ABB=ON ("EMORI E"/AU OR "EMORI EITA"/AU) E YOSHIKAWA SEIJI/AU

74 SEA ABB=ON "YOSHIKAWA SEIJI"/AU 3 SEA ABB=ON L1 AND L2 T. 3

D TI 1-3

L41 SEA ABB=ON L3 AND ?IMIDAZOL?

D TT SELECT RN L4 1-1 D AB

FILE 'REGISTRY' ENTERED AT 16:11:19 ON 26 JUN 2008 L5 STR

L6 0 SEA SSS SAM L5

L13

22 SEA SSS FUL L5

FILE 'HCAPLUS' ENTERED AT 16:14:38 ON 26 JUN 2008 L8 3 SEA ABB=ON L7

FILE 'USPATFULL' ENTERED AT 16:15:05 ON 26 JUN 2008 1.9 5 SEA ABB=ON L7

FILE 'HCAPLUS, USPATFULL' ENTERED AT 16:15:13 ON 26 JUN 2008 L10

8 DUP REMOV L8 L9 (0 DUPLICATES REMOVED) E MATSUURA FUMIYOSHI/AU

L11 51 SEA ABB=ON "MATSUURA FUMIYOSHI"/AU E CLARK RICHARD/AU

L12 124 SEA ABB=ON "CLARK RICHARD"/AU E IKUTA HIRONORI/AU

> 68 SEA ABB=ON "IKUTA HIRONORI"/AU E KIRA KAZUNOBU/AU

L14 26 SEA ABB=ON "KIRA KAZUNOBU"/AU E YASUDA NOBUYUKI/AU

L15 125 SEA ABB=ON "YASUDA NOBUYUKI"/AU E NAGAKURA TADASHI/AU

L16 37 SEA ABB=ON "NAGAKURA TADASHI"/AU

E YAMAZAKI KAZUTO/AU

3 SEA ABB=ON L1 AND L2 AND L11 AND L12 AND L13 AND L14 AND L15 AND 1.16

FILE 'HCAPLUS' ENTERED AT 16:18:33 ON 26 JUN 2008

L18 1 SEA ABB=ON L1 AND L2 AND L11 AND L12 AND L13 AND L14 AND L15 AND 1.16

SELECT L18 RN 1-1

FILE 'REGISTRY' ENTERED AT 16:19:04 ON 26 JUN 2008 5 SEA ABB=ON (50-99-7/BI OR 54249-88-6/BI OR 89750-14-1/BI OR L19 9004-10-8/BI OR 915132-86-4/BI)

FILE 'HCAPLUS' ENTERED AT 16:19:11 ON 26 JUN 2008 L20 1 SEA ABB=ON L18 AND L19

FILE HOME

FILE HCAPLUS

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FILE COVERS 1907 - 26 Jun 2008 VOL 148 ISS 26 FILE LAST UPDATED: 25 Jun 2008 (20080625/ED)

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m HCAplus}$  now includes complete International Patent Classification (IPC) reclassification data for the second quarter of 2008.

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#### FILE REGISTRY

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http://www.cas.org/support/stngen/stndoc/properties.html

FILE USPATFULL
FILE COVERS 1971 TO PATENT PUBLICATION DATE: 26 Jun 2008 (20080626/PD)
FILE LAST UPDATED: 26 Jun 2008 (20080626/ED)
HIGHEST GRANTED PATENT NUMBER: US7392547
HIGHEST APPLICATION PUBLICATION NUMBER: US20080155725
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ISSUE CLASS FIELDS (/INCL) CURRENT THROUGH: 26 Jun 2008 (20080626/PD)
REVISED CLASS FIELDS (/NCL) LAST RELOADED: Apr 2008
USPFTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Apr 2008

USPATFULL now includes complete International Patent Classification (IPC) reclassification data for the second quarter of 2008.